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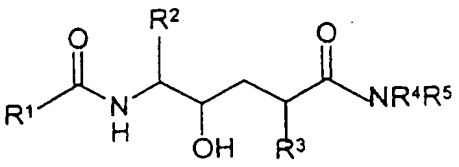
(54) Title: HETEROARYL–HEXANOIC ACID AMIDE DERIVATIVES, THEIR PREPARATION AND THEIR USE AS SELECTIVE INHIBITORS OF MIP–1–ALPHA BINDING TO ITS CCR1 RECEPTOR

(57) Abstract



(I)

Compounds of formula (I) wherein R^1 is optionally substituted $(C_2–C_9)$heteroaryl; R^2 is optionally substituted phenyl–$(CH_2)_m$–, naphthyl–$(CH_2)_m$–, $(C_3–C_{10})$cycloalkyl–$(CH_2)_m$–, $(C_1–C_6)$alkyl or $(C_2–C_9)$heteroaryl–$(CH_2)_m$–, m is an integer from zero to four; R^3 is hydrogen, or optionally substituted $(C_1–C_{10})$alkyl, $(C_3–C_{10})$cycloalkyl–$(CH_2)_n$–, $(C_2–C_9)$heterocycloalkyl–$(CH_2)_n$–, $(C_2–C_9)$heteroaryl–$(CH_2)_n$– or aryl–$(CH_2)_n$–, n is an integer from zero to six; or R^3 and the carbon to which it is attached form an optionally substituted and/or fused five to seven membered carbocyclic ring; R^4 is hydrogen, $(C_1–C_6)$alkyl, hydroxy, $(C_1–C_6)$alkoxy, hydroxy $(C_1–C_6)$alkyl, $(C_1–C_6)$alkoxyCO, $(C_3–C_{10})$cycloalkyl–$(CH_2)_p$–, or optionally substituted $(C_2–C_9)$heterocycloalkyl–$(CH_2)_p$–, $(C_2–C_9)$heteroaryl–$(CH_2)_p$–, phenyl–$(CH_2)_p$– or naphthyl–$(CH_2)_p$–, p is an integer from zero to four; or R^4 and R^5 together with the nitrogen atom to which they are attached form an optionally substituted $(C_2–C_9)$heterocycloalkyl group; R^5 is hydrogen, $(C_1–C_6)$alkyl or amino. The present compounds are potent and selective inhibitors of MIP–1–alpha. binding to its receptor CCR1, and are thus useful to treat inflammation and other immune disorders.